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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: Susanna Moore Examiner # : 82304 Date: _____
Art Unit: 1624 Phone Number: 2-9046 Serial Number: 10/502240
Location (Bldg/Room#): Rem 5831 (Mailbox #): Rem 5418 Results Format Preferred (circle): PAPER DISK

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To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

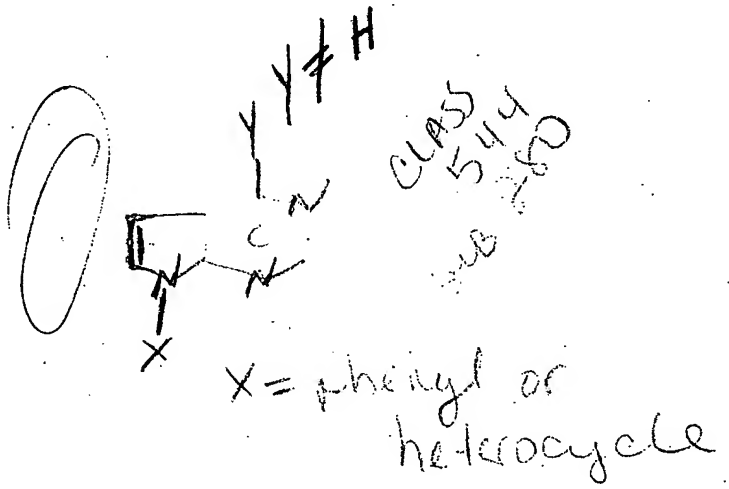
Title of Invention: _____

Inventors (please provide full names): _____

Earliest Priority Date: _____

Search Topic:
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only ***Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.***



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Date Searcher Picked Up: 4/19/06
Date Completed: 4/19/06
Searcher Prep & Review Time: 5
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_____ AA Sequence (#)
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_____ Litigation.
_____ Fulltext.
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✓ STN _____ Dialog
_____ Questel/Orbit _____ Lexis/Nexis
_____ Westlaw _____ WWW/Internet
___ In-house sequence systems
___ Commercial ___ Oligomer ___ Score/Length
___ Interference ___ SPDI ___ Encode/Transl
_____ Other (specify)

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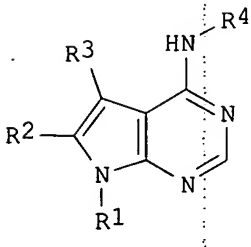
L15 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1192816 CAPLUS
DOCUMENT NUMBER: 143:460172
TITLE: Preparation of 7H-pyrrolo[2,3-d]pyrimidines as antiinflammatory agents
INVENTOR(S): Szolcsanyi, Janos; Orfi, Laszlo; Keri, Gyoergy; Waczek, Frigyes; Pinter, Erika; Helyes, Zsuzsanna; Szuets, Tamas; Nemeth, Jozsef
PATENT ASSIGNEE(S): Hung.
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005105804	A1	20051110	WO 2005-HU40	20050425

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: HU 2004-891 A 20040429
OTHER SOURCE(S): MARPAT 143:460172
GI



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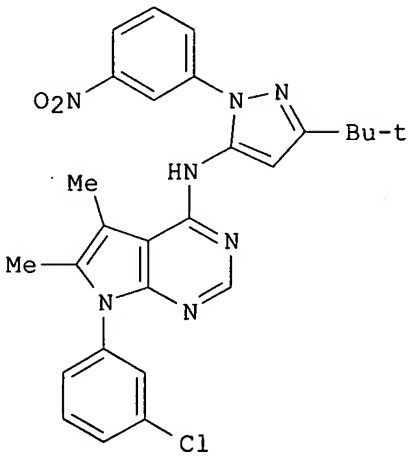


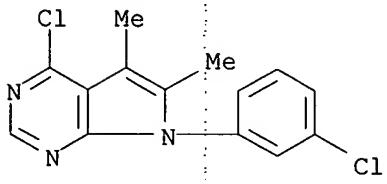
II

AB Title compds. I [R1 = alkyl, aryl, heteroaryl, etc.; R2-3 = H, Me, Et, etc.; R4 = imino, 2-oxoindol-3-ylideneamino, heteroaryl] are prepared For

instance, II is prepared in 4 steps from acetoin, 3-chloroaniline, malononitrile and 5-(tert-butyl)-2-(3-nitrophenyl)-2H-pyrazole-3-ylamine. Selected compds. of the invention exhibit inhibition of release of substance P relative to control substance TT 232. I are useful for the treatment of inflammation, neuropathic hyperalgesia and rheumatic arthritis and for hindering destruction of bones.

IT **869220-77-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 7H-pyrrolo[2,3-d]pyrimidines as antiinflammatory agents)

RN 869220-77-9 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-7-(3-chlorophenyl)-5,6-dimethyl- (9CI) (CA INDEX NAME)



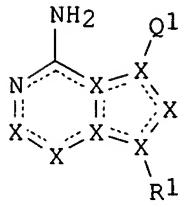
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1130642 CAPLUS
DOCUMENT NUMBER: 143:405928
TITLE: Preparation of 6,6-bicyclic ring substituted heterobicyclic protein kinase inhibitors
INVENTOR(S): Arnold, Lee D.; Cesario, Cara; Coate, Heather; Crew, Andrew Philip; Dong, Hanqing; Foreman, Kenneth; Honda, Ayako; Laufer, Radoslaw; Li, An-Hu; Mulvihill, Kristen Michelle; Mulvihill, Mark Joseph; Nigro, Anthony; Panicker, Bijoy; Steinig, Arno G.; Sun, Yingchuan; Weng, Qinghua; Werner, Douglas S.; Wyle, Michael J.; Zhang, Tao
PATENT ASSIGNEE(S): Osi Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 653 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005097800	A1	20051020	WO 2005-US10606	20050331

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,

MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2004-559250P P 20040402
OTHER SOURCE(S): MARPAT 143:405928
GI



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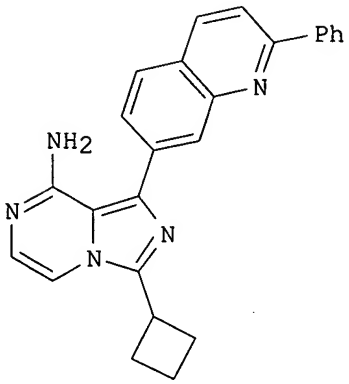
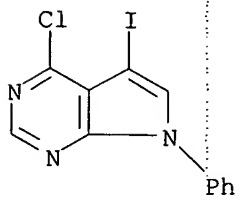


II

AB The title compds. I [X1, X2 = N, substituted CH; X5 = N, substituted CH or NH; X3, X4, X6, X7 = N, C (at least one of X3-X7 = N or substituted NH); Q1 = substituted quinolin-7-yl] which inhibit the IGF-1R enzyme and are useful for the treatment and/or prevention of hyperproliferative diseases such as cancer, inflammation, psoriasis, allergy/asthma, disease and conditions of the immune system, disease and conditions of the central nervous system, were prepared E.g., a multi-step synthesis of II, starting from Me 4-formyl-3-nitrobenzoate and acetophenone, was given. All exemplified compds. I showed inhibition of IGF-1R (no specific data for representative compds. I given). The pharmaceutical composition comprising the compound I is disclosed.

IT **867164-06-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted 1-(2-phenylquinolin-7-yl)imidazo[1,5-a]pyrazin-8-amines as protein kinase inhibitors)
RN 867164-06-5 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-5-iodo-7-phenyl- (9CI) (CA INDEX NAME)

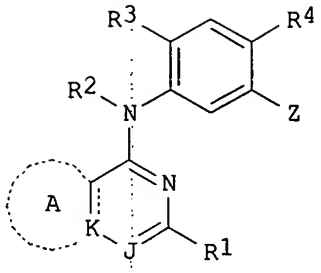


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:409524 CAPLUS
DOCUMENT NUMBER: 142:463438
TITLE: Preparation of phenylamine substituted bicyclic heterocyclic compounds useful as kinase inhibitors
INVENTOR(S): Das, Jagabandhu; Hynes, John; Leftheris, Katerina; Lin, Shuqun; Wrobleski, Stephen T.; Wu, Hong
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042537	A1	20050512	WO 2004-US35116	20041022
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005143398	A1	20050630	US 2004-970420	20041021

PRIORITY APPLN. INFO.: US 2003-513285P P 20031022
OTHER SOURCE(S): MARPAT 142:463438
GI



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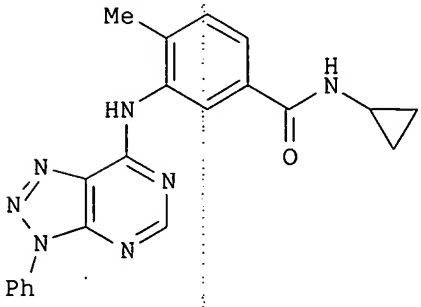


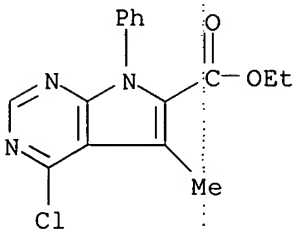
II

AB Title compds. I [J = N or CR5; R1 and R5 independently = H, OH, halo, CN, etc.; R2 = H or alkyl; R3 and R4 independently = H, (un)substituted-alkyl, OH, MeO, halo, etc.; K = N or C; Z = NHR6, CONR6R7, NR6CO2R7, etc.; R6 = H or (un)substituted alkyl; R7 = H, OH, alkoxy, etc.; Ring A = fused heterocycle or carbocycle], and their pharmaceutically acceptable salts, prodrugs, and solvates thereof, are prepared and disclosed as kinase inhibitors. Thus, e.g., II was prepared by reaction of 4-chloro-1-phenyl-1,2,3,5,7-azaindene with 3-amino-4-methyl-N-cyclopropylbenzamide. I have shown activity as inhibitors of p38α/β enzymes and TNF-α (no data).

IT **245728-43-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of phenylamine substituted bicyclic heterocyclic compound as kinase inhibitors)

RN 245728-43-2 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine-6-carboxylic acid, 4-chloro-5-methyl-7-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

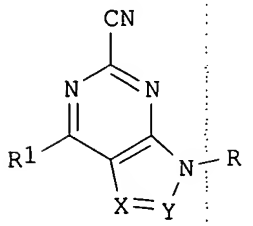
L15 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:2886 CAPLUS
DOCUMENT NUMBER: 140:77157
TITLE: Preparation of novel purine- or pyrrolo[2,3-d]pyrimidine-2-carbonitriles for treating diseases associated with cysteine protease activity
INVENTOR(S): Bailey, Andrew; Pairaudeau, Garry; Patel, Anil; Thom, Stephen
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000843	A1	20031231	WO 2003-SE1079	20030623
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003243096	A1	20040106	AU 2003-243096	20030623
EP 1532148	A1	20050525	EP 2003-761002	20030623
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005533804	T2	20051110	JP 2004-515329	20030623
US 2005203107	A1	20050915	US 2004-518815	20041220

PRIORITY APPLN. INFO.: SE 2002-1980 A 20020624
WO 2003-SE1079 W 20030623

OTHER SOURCE(S): MARPAT 140:77157
GI



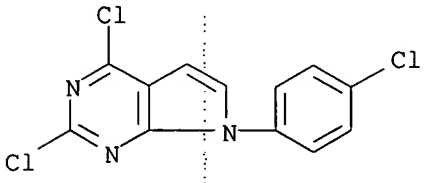
I

AB The title compds. [I; X = N, NH, CH, CH2; Y = N, CH, CO, CH2, CNR2R3 (wherein R2, R3 = H, alkyl, cycloalkyl); R = (un)substituted (hetero)aryl, H, alkyl, cycloalkyl, etc.; R1 = Z(CH2)pR7 (wherein p = 0-2; Z = O, NR8; R8 = H, alkyl, cycloalkyl; R7 = (un)substituted 5-6 membered saturated ring containing one or more O, S or N atoms, aryl or heteroaryl), NR9R10 (R9, R10 = H, alkyl, etc.; or NR9R10 = (un)substituted 5-6 membered saturated ring

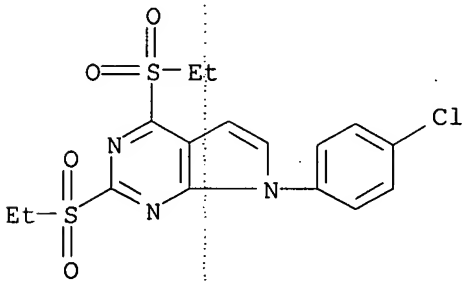
optionally containing a further O, S or N atom)] which are reversible inhibitors of cysteine proteases S, K, F, L and B (no data), and therefore useful for treating diseases associated with cysteine protease activity (especially diseases associated with Cathepsin S), were prepared Thus, a 4-step synthesis of 1-[9-(4-chlorophenyl)-2-cyano-9H-purin-6-yl]-L-prolinamide (starting from 4-chloroaniline and 5-amino-4,6-dichloro-2-propylthiopyrimidine), was given. Pharmaceutical composition comprising the compound I is claimed.

IT **640285-31-0P 640285-32-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of purine- or pyrrolo[2,3-d]pyrimidine-2-carbonitriles for treating diseases associated with cysteine protease activity)

RN 640285-31-0 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 2,4-dichloro-7-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



RN 640285-32-1 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-(4-chlorophenyl)-2,4-bis(ethylsulfonyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

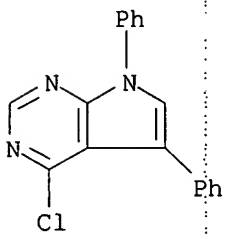
L15 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:737012 CAPLUS
DOCUMENT NUMBER: 138:287613
TITLE: Annellation of triazole and tetrazole systems onto pyrrolo[2,3-d]pyrimidines: synthesis of tetrazolo[1,5-c]pyrrolo[3,2-e]-pyrimidines and triazolo[1,5-c]pyrrolo[3,2-e]pyrimidines as potential antibacterial agents
AUTHOR(S): Dave, Chaitanya G.; Shah, Rina D.
CORPORATE SOURCE: Org. Syntheses Lab., M.G. Sci. Inst., Ahmedabad, 380 009, India
SOURCE: Molecules [online computer file] (2002), 7(7), 554-565
CODEN: MOLEFW; ISSN: 1420-3049

URL: http://www.mdpi.org/molecules/papers/70700554.pdf
PUBLISHER: Molecular Diversity Preservation International
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:287613

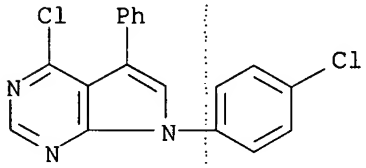
AB Syntheses of several novel 4-chloropyrrolo[2,3-d]pyrimidines (1), 4-hydrazinopyrrolo[2,3-d]pyrimidines (2) and 3-amino-4-iminopyrrolo[2,3-d]pyrimidines (7) and their use in the synthesis of tetrazolo[1,5-c]pyrrolo[3,2-e]pyrimidines (3) and triazolo[1,5-c]pyrrolo[3,2-e]pyrimidines (4) required for biol. screening are reported.

IT **287177-10-0 507273-41-8 507273-42-9 507273-44-1 507273-45-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(annelation of triazole and tetrazole systems for synthesis of tetrazolo[1,5-c]pyrrolo[3,2-e]-pyrimidines as potential antibacterial agents)

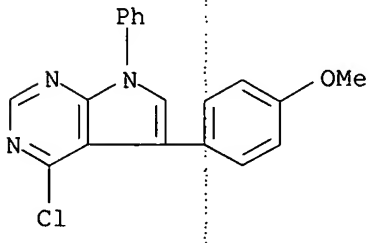
RN 287177-10-0 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-5,7-diphenyl- (9CI) (CA INDEX NAME)



RN 507273-41-8 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-7-(4-chlorophenyl)-5-phenyl- (9CI) (CA INDEX NAME)

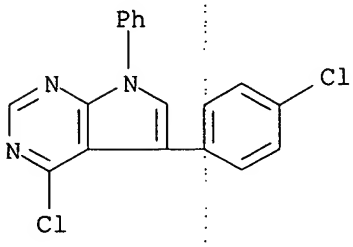


RN 507273-42-9 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-5-(4-methoxyphenyl)-7-phenyl- (9CI) (CA INDEX NAME)

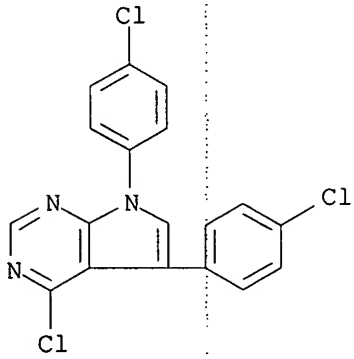


RN 507273-44-1 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-5-(4-chlorophenyl)-7-phenyl- (9CI) (CA INDEX NAME)



RN 507273-45-2 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-5,7-bis(4-chlorophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:455610 CAPLUS
DOCUMENT NUMBER: 137:310888
TITLE: Synthesis of some new pyrrolo[2,3-d] pyrimidine-4-amines
AUTHOR(S): Hilmy, Khalid Mohamed Hassan
CORPORATE SOURCE: Chemistry Department, Faculty of Science, Minoufiya University, Shebin El-kom, Egypt
SOURCE: Afinidad (2002), 59(498), 147-150
CODEN: AFINAE; ISSN: 0001-9704
PUBLISHER: Asociacion de Quimicos del Instituto Quimico de Sarria
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:310888
GI

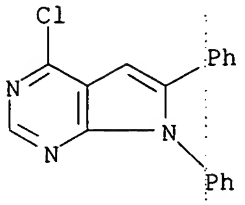
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The reaction of 2-aminopyrrole-3-carbonitriles I (R1 = H, Cl, Me, R2 = H)

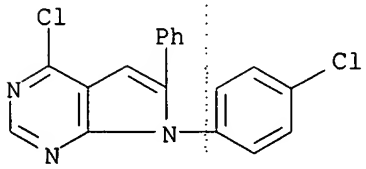
with formic acid gave pyrrolo[2,3-d]pyrimidin-4(3H)-ones which afforded 4-chloropyrrolo[2,3-d]pyrimidines on reaction with phosphorus oxychloride. The latter afforded pyrrolo[2,3-d]pyrimidine-4-amines II (R1 = H, Cl, R2 = H; R1 = Me, R2 = Cl) by treatment with aromatic amines. On the other hand, treatment of compds. I (R1 = Cl, R2 = H; R1 = H, R2 = CF3) with formic acid in the presence of formamide and N,N-dimethylformamide afforded 4-aminopyrrolo[2,3-d]pyrimidines III.

IT **473289-26-8P 473289-27-9P 473289-28-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolopyrimidineamines via reaction of amino(cyano)pyrroles with formic acid and subsequent cyclization, chlorination, and substitution with aromatic amines)

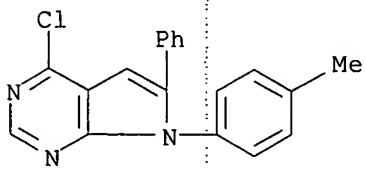
RN 473289-26-8 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-6,7-diphenyl- (9CI) (CA INDEX NAME)



RN 473289-27-9 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-7-(4-chlorophenyl)-6-phenyl- (9CI) (CA INDEX NAME)



RN 473289-28-0 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-7-(4-methylphenyl)-6-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

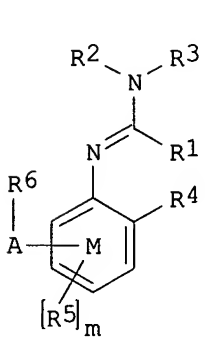
L15 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:553541 CAPLUS
DOCUMENT NUMBER: 133:163952
TITLE: Preparation of N2-phenylamidines as fungicides

INVENTOR(S): Charles, Mark David; Franke, Wilfried; Green, David Eric; Hough, Thomas Lawley; Mitchell, Dale Robert; Simpson, Donald James; Atherall, John Frederick
PATENT ASSIGNEE(S): Hoechst Schering Agrevo G.m.b.H., Germany
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

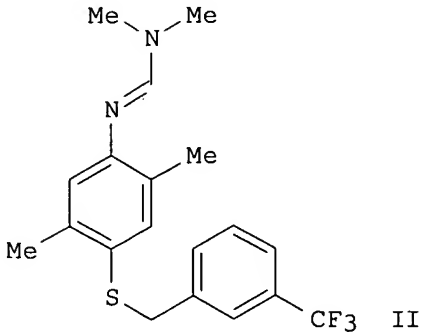
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000046184	A1	20000810	WO 2000-GB345	20000204
W: AU, BR, CA, CN, CZ, HU, IL, IN, JP, KR, MX, RU, TR, UA, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2360943	AA	20000810	CA 2000-2360943	20000204
EP 1150944	A1	20011107	EP 2000-901791	20000204
EP 1150944	B1	20030820		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
TR 200102237	T2	20011221	TR 2001-200102237	20000204
BR 2000009314	A	20020213	BR 2000-9314	20000204
JP 2002536354	T2	20021029	JP 2000-597256	20000204
AT 247629	E	20030915	AT 2000-901791	20000204
AU 768156	B2	20031204	AU 2000-23088	20000204
PT 1150944	T	20031231	PT 2000-901791	20000204
ES 2200816	T3	20040316	ES 2000-901791	20000204
RU 2234504	C2	20040820	RU 2001-124664	20000204
US 6893650	B1	20050517	US 2001-890775	20000204
ZA 2001005845	A	20021016	ZA 2001-5845	20010716
HK 1043358	A1	20050506	HK 2002-105179	20020712

PRIORITY APPLN. INFO.: GB 1999-2592 A 19990206
WO 2000-GB345 W 20000204

OTHER SOURCE(S): MARPAT 133:163952
GI



I



II

AB The title compds. [I; R1 = alkyl, alkenyl, alkynyl, etc.; R2, R3 = R1, CN, acyl, etc.; R2 and R3, or R2 and R1, together with their interconnecting atoms may form (un)substituted ring; R4 = alkyl, alkenyl, alkynyl, etc.; m

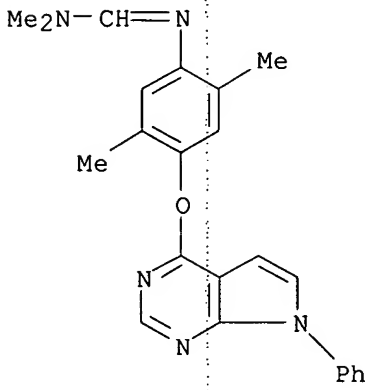
= 0-3; when present R5 = R4; R6 = (un)substituted carbo- or heterocyclyl; A = a direct bond, O, C.tplbond.C, etc.; AR6 and R5 together with benzene ring M form an (un)substituted fused ring system], useful as fungicides, were prepared E.g., a 3-step preparation of the formamidine II which showed moderate to total control against Erysiphe graminis f. sp. Tritici at 500 ppm (w/v) or less, was given.

IT **287938-70-9P**

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N2-phenylamidines as fungicides)

RN 287938-70-9 CAPLUS

CN Methanimidamide, N'-[2,5-dimethyl-4-[(7-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl)oxy]phenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN.

ACCESSION NUMBER: 2000:380074 CAPLUS

DOCUMENT NUMBER: 133:150514

TITLE: Substituted 5,7-diphenylpyrrolo[2,3-d]pyrimidines: potent inhibitors of the tyrosine kinase c-Src

AUTHOR(S): Missbach, Martin; Altmann, Eva; Widler, Leo; Susa, Mira; Buchdunger, Elisabeth; Mett, Helmut; Meyer, Thomas; Green, Jonathan

CORPORATE SOURCE: Novartis Pharma AG, Therapeutic Areas Arthritis and Bone Metabolism, Basel, CH-4002, Switz.

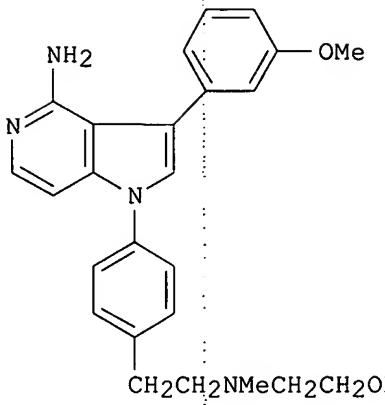
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(9), 945-949
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



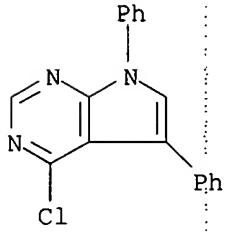
I

AB 5,7-Diphenylpyrrolo[2,3-d]pyrimidines, e.g., I, represent a new class of highly potent inhibitors of the tyrosine kinase c-Src (IC50 <50 nM) with specificity against a panel of different tyrosine kinases. The substitution pattern on the two Ph rings dets. potency and specificity and provides a means to modulate cellular activity.

IT **287177-10-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(5,7-diphenylpyrrolo[2,3-d]pyrimidines as inhibitors of the tyrosine kinase c-Src)

RN 287177-10-0 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-5,7-diphenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:51036 CAPLUS

DOCUMENT NUMBER: 130:196617

TITLE: Synthesis of 7H-Tetrazolo[1,5-c]pyrrolo[3,2-e]pyrimidines and their reductive ring cleavage to 4-aminopyrrolo[2,3-d]pyrimidines

AUTHOR(S): Dave, Chaitanya G.; Shah, Rina D.

CORPORATE SOURCE: Organic Syntheses Laboratory, M. G. Science Institute, Ahmedabad, 300 009, India

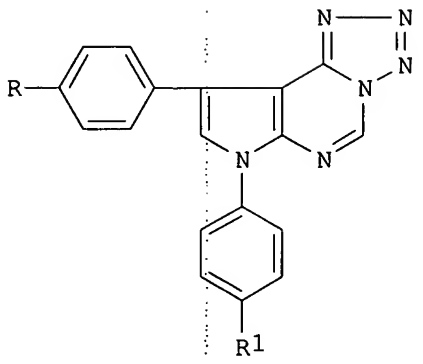
SOURCE: Journal of Heterocyclic Chemistry (1998), 35(6), 1295-1300
CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

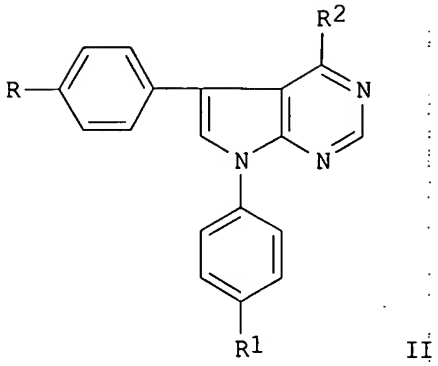
DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I



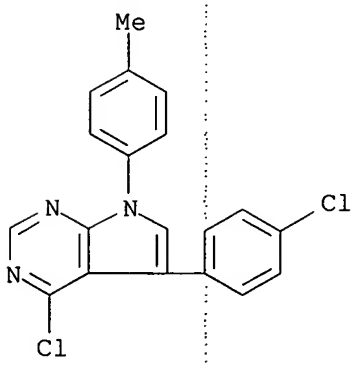
II

AB Some new 7,9-disubstituted 7H-tetrazolo[1,5-c]pyrrolo[3,2-e]pyrimidines (I; R = H, MeO, Cl; R1 = MeO, Br, I, Me) have been synthesized either by diazotization of 4-hydrazino-7H-pyrrolo[2,3-d]pyrimidines (II; same R, R1; R2 = NHNH2), obtained by hydrazinolysis of II (R2 = Cl) or via a substitution reaction between II (R2 = Cl) and sodium azide. 5,7-Disubstituted 7H-pyrrolo[2,3-d]pyrimidin-4(3H)-ones were obtained by cyclocondensation of 1,4-disubstituted 2-amino-3-cyanopyrroles with formic acid; subsequent chlorination using phosphorus oxychloride afforded II (R2 = Cl). A novel route to II (R2 = NH2) via reductive ring cleavage of I has been reported.

IT **170464-81-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 7H-tetrazolo[1,5-c]pyrrolo[3,2-e]pyrimidines and their reductive ring cleavage to 4-aminopyrrolo[2,3-d]pyrimidines)

RN 170464-81-0 CAPLUS

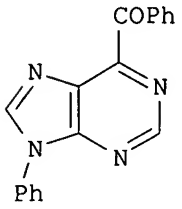
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-5-(4-chlorophenyl)-7-(4-methylphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:226504 CAPLUS
DOCUMENT NUMBER: 128:282737
TITLE: Catalytic action of azolium salts. IX. Synthesis of 6-aroyl-9H-purines and their analogs by nucleophilic aroylation catalyzed by imidazolium or benzimidazolium salt
AUTHOR(S): Miyashita, Akira; Suzuki, Yumiko; Iwamoto, Ken-Ichi; Higashino, Takeo
CORPORATE SOURCE: School of Pharmaceutical Sciences, University of Shizuoka, Shizuoka, 422, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1998), 46(3), 390-399
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:282737
GI

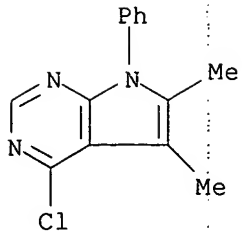


II

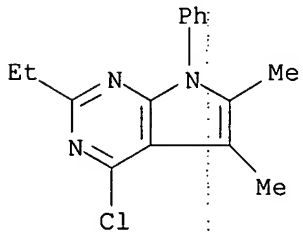
AB In the presence of 1,3-dimethylimidazolium iodide (I), 6-chloro-9-phenyl-9H-purine and 4-chloro-5,6-dimethylpyrrolo[2,3-d]pyrimidines underwent nucleophilic aroylation with arenecarbaldehydes to give the corresponding fused aroylpyrimidines, e.g. II. 1,3-Dimethylbenzimidazolium iodide (III) was an effective catalyst for the similar synthesis of 7-aroyl-3-phenyl-3H-1,2,3-triazolo[4,5-d]pyrimidines. In the synthesis of 4-aroyl-1H-pyrazolo[3,4-d]pyrimidines, both azolium salts I and III were effective as catalysts. Moreover, 4-aroyl-7H-pyrrolo[2,3-d]pyrimidines were obtained in good yields via the 4-tosyl derivs., in the presence of catalytic amts. of sodium p-toluenesulfinate and the imidazolium salt I. This catalytic aroylation was found to be a facile and useful method for the synthesis of 6-aroyl-9H-purines and their analogs.

IT **86520-41-4 86520-43-6 86520-45-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of 6-aroyl-9H-purines and analogs via nucleophilic aroylation catalyzed by imidazolium or benzimidazolium salt)

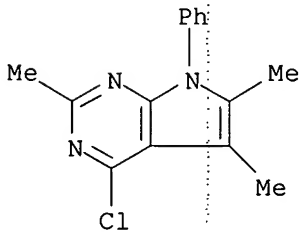
RN 86520-41-4 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-5,6-dimethyl-7-phenyl- (9CI) (CA INDEX NAME)



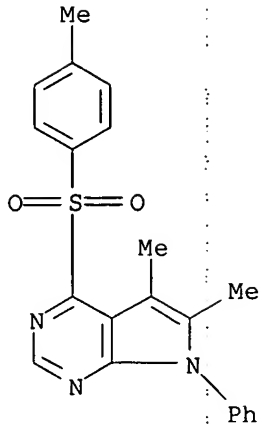
RN 86520-43-6 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-2-ethyl-5,6-dimethyl-7-phenyl- (9CI) (CA INDEX NAME)



RN 86520-45-8 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-2,5,6-trimethyl-7-phenyl- (9CI) (CA INDEX NAME)

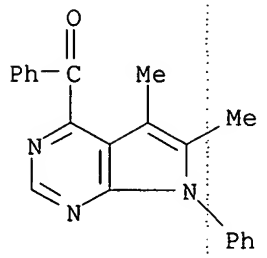


IT **205753-42-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 6-aroyl-9H-purines and analogs via nucleophilic aroylation catalyzed by imidazolium or benzimidazolium salt)
RN 205753-42-0 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 5,6-dimethyl-4-[(4-methylphenyl)sulfonyl]-7-phenyl- (9CI) (CA INDEX NAME)

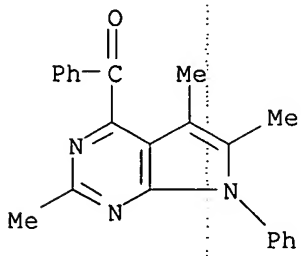


IT **205753-39-5P 205753-40-8P 205753-41-9P**
205753-96-4P 205753-97-5P 205753-98-6P
205753-99-7P 205754-00-3P 205754-01-4P
205754-02-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 6-aroyl-9H-purines and analogs via nucleophilic aroylation catalyzed by imidazolium or benzimidazolium salt)

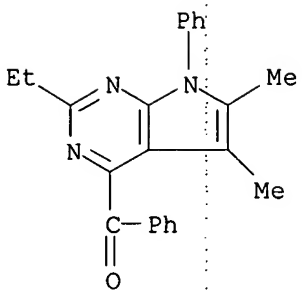
RN 205753-39-5 CAPLUS
CN Methanone, (5,6-dimethyl-7-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl)phenyl- (9CI) (CA INDEX NAME)



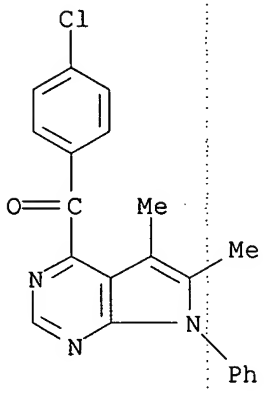
RN 205753-40-8 CAPLUS
CN Methanone, phenyl(2,5,6-trimethyl-7-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl)- (9CI) (CA INDEX NAME)



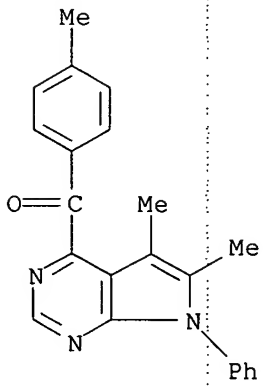
RN 205753-41-9 CAPLUS
CN Methanone, (2-ethyl-5,6-dimethyl-7-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl)phenyl- (9CI) (CA INDEX NAME)



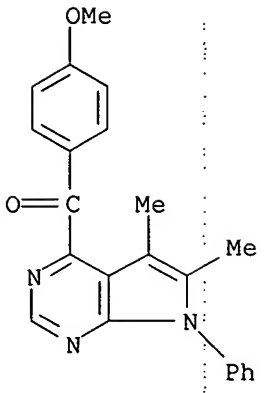
RN 205753-96-4 CAPLUS
CN Methanone, (4-chlorophenyl)(5,6-dimethyl-7-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl)- (9CI) (CA INDEX NAME)



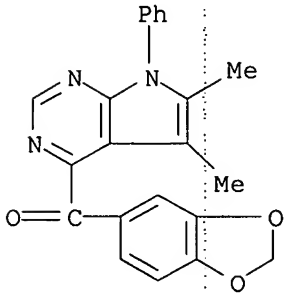
RN 205753-97-5 CAPLUS
CN Methanone, (5,6-dimethyl-7-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl)(4-methylphenyl)- (9CI) (CA INDEX NAME)



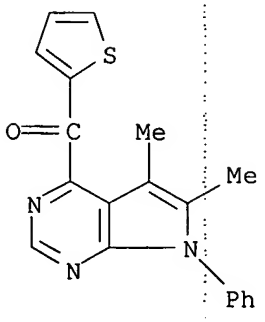
RN 205753-98-6 CAPLUS
CN Methanone, (5,6-dimethyl-7-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl)(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



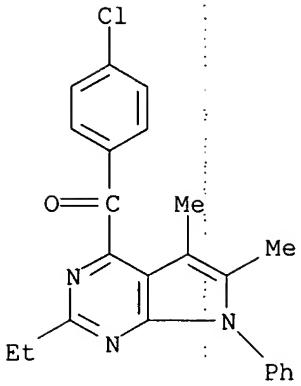
RN 205753-99-7 CAPLUS
CN Methanone, 1,3-benzodioxol-5-yl(5,6-dimethyl-7-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl)- (9CI) (CA INDEX NAME)



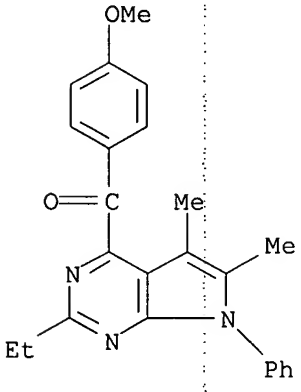
RN 205754-00-3 CAPLUS
CN Methanone, (5,6-dimethyl-7-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl)-2-thienyl- (9CI) (CA INDEX NAME)



RN 205754-01-4 CAPLUS
CN Methanone, (4-chlorophenyl)(2-ethyl-5,6-dimethyl-7-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl)- (9CI) (CA INDEX NAME)

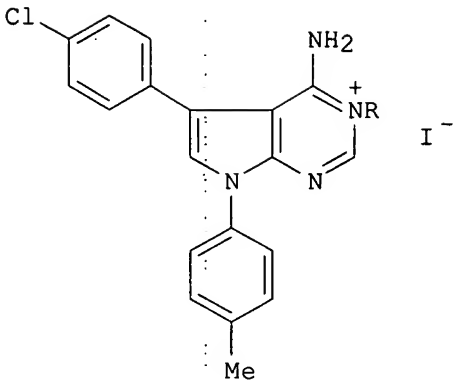


RN 205754-02-5 CAPLUS
CN Methanone, (2-ethyl-5,6-dimethyl-7-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-yl)(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:192136 CAPLUS
DOCUMENT NUMBER: 124:343240
TITLE: Pyrrolo[2,3-d]pyrimidines. Part 2. Synthesis of Some New Pyrrolo[2,3-d]pyrimidin-4-amines and Other Related Derivatives with Molluscicidal Properties
AUTHOR(S): Basyouni, Wahid M.; El-Bayouki, Khairy A. M.; El-Sayed, Mortada M.; Hosni, Hanaa
CORPORATE SOURCE: National Res. Cent., Cairo, Egypt
SOURCE: Journal of Chemical Research, Synopses (1996), (3), 127
CODEN: JRPSDC; ISSN: 0308-2342
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



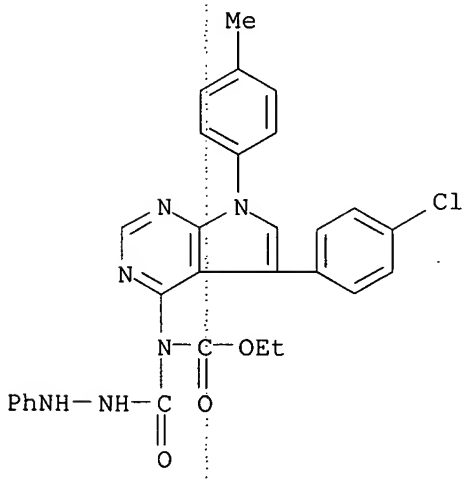
I

AB Pyrrolo[2,3-d]pyrimidin-4-amine was prepared as a precursor for synthesizing pyrrolo[2,3-d]pyrimidinium iodides, N-alkylpyrrolo[2,3-d]pyrimidin-4-amines, N,N-di(ethoxycarbonyl)pyrrolo[2,3-d]pyrimidin-4-amine and pyrrolo[2,3-d]pyrimidin-4-yl semicarbazides; molluscicidal activity of the synthesized products has been screened against Biomphalaria alexandrina, Bulinus truncatus and Lymnaea cailliaudi snails. Only pyrrolo[2,3-d]pyrimidinium iodides I (R = alkyl) had molluscicidal activity.

IT **176750-89-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of pyrrolo[2,3-d]pyrimidine derivs. as molluscicides)

RN 176750-89-3 CAPLUS

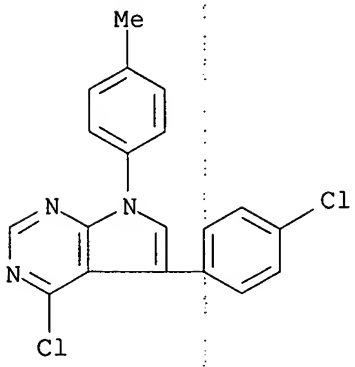
CN Carbamic acid, [5-(4-chlorophenyl)-7-(4-methylphenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl][(2-phenylhydrazino)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)



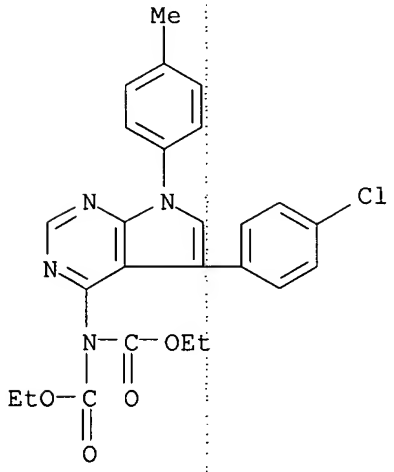
IT **170464-81-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrrolo[2,3-d]pyrimidine derivs. as molluscicides)

RN 170464-81-0 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-5-(4-chlorophenyl)-7-(4-methylphenyl)- (9CI) (CA INDEX NAME)



IT **176750-87-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolo[2,3-d]pyrimidine derivs. as molluscicides)
RN 176750-87-1 CAPLUS
CN Imidodicarbonic acid, [5-(4-chlorophenyl)-7-(4-methylphenyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yl]-, diethyl ester (9CI) (CA INDEX NAME)



L15 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:718510 CAPLUS
DOCUMENT NUMBER: 123:339786
TITLE: Pyrrolo[2,3-d]pyrimidines. Part 1. Synthesis of novel pyrrolo[2,3-d]pyrimidine derivatives with antimicrobial activity
AUTHOR(S): El-Bayouki, Khairy A. M.; Basyouni, Wahid M.; Hosni, Hanaa; El-Deen, A. Shehab
CORPORATE SOURCE: National Research Center, Cairo, Egypt
SOURCE: Journal of Chemical Research, Synopses (1995), (8), 314-15

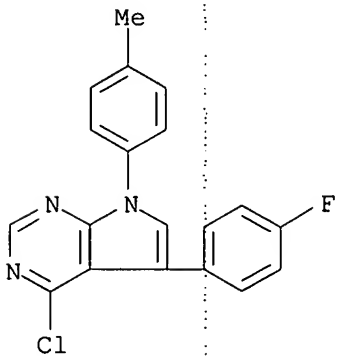
CODEN: JRPSDC; ISSN: 0308-2342
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:339786

AB 2-Aminopyrrole-3-carbonitriles have been prepared as precursors for synthesizing triazolo-, tetrazolo-, and 4-(3,5-dimethylpyrazolo)pyrrolopyrimidines, as well as a 3-(pyrrolopyrimidinylhydrazono)butanoate ester; antimicrobial screening of some selected examples from the synthesized products was carried out.

IT **170464-82-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of pyrrolo[2,3-d]pyrimidine derivs. with antimicrobial activity)

RN 170464-82-1 CAPLUS

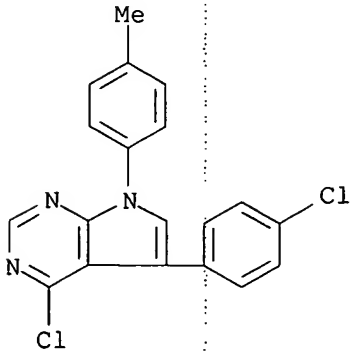
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-5-(4-fluorophenyl)-7-(4-methylphenyl)- (9CI) (CA INDEX NAME)



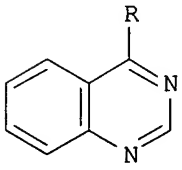
IT **170464-81-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of pyrrolo[2,3-d]pyrimidine derivs. with antimicrobial activity)

RN 170464-81-0 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-5-(4-chlorophenyl)-7-(4-methylphenyl)- (9CI) (CA INDEX NAME)



L15 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:326756 CAPLUS
DOCUMENT NUMBER: 122:187439
TITLE: Preparation of heteroarenecarbonitriles by reaction of haloheteroarenes with potassium cyanide with sodium p-toluenesulfinate as catalyst
AUTHOR(S): Miyashita, Akira; Suzuki, Yumiko; Ohta, Kiyono; Higashino, Takeo
CORPORATE SOURCE: School of Pharmaceutical Sciences, Univ. of Shizuoka, Shizuoka, 422, Japan
SOURCE: Heterocycles (1994), 39(1), 345-56
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:187439
GI

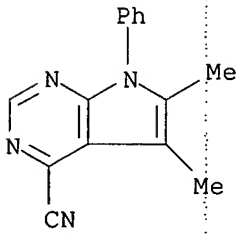


I

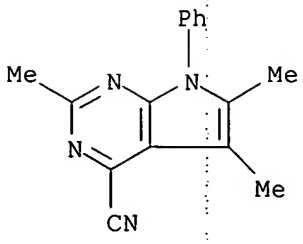
AB The title reactions were carried out with sodium p-toluenesulfinate or sodium methanesulfinate as catalyst. For example, 4-chloroquinazoline (I, R = Cl) was converted to I (R = CN). The cyanation proceeds via a sulfonylheteroarene.

IT **161644-05-9P 161644-06-0P 161644-07-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

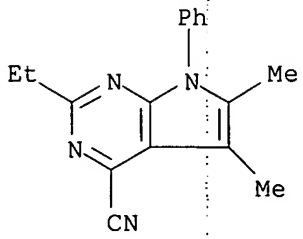
RN 161644-05-9 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine-4-carbonitrile, 5,6-dimethyl-7-phenyl- (9CI) (CA INDEX NAME)



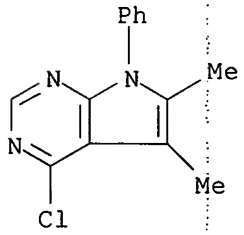
RN 161644-06-0 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine-4-carbonitrile, 2,5,6-trimethyl-7-phenyl- (9CI) (CA INDEX NAME)



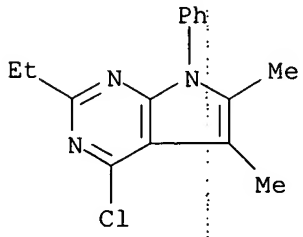
RN 161644-07-1 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine-4-carbonitrile, 2-ethyl-5,6-dimethyl-7-phenyl- (9CI) (CA INDEX NAME)



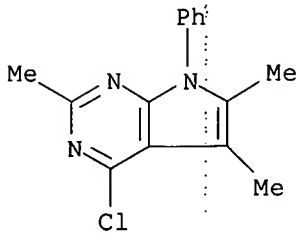
IT **86520-41-4 86520-43-6 86520-45-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(sulfinate-catalyzed substitution reaction of haloheteroarenes with cyanide)
RN 86520-41-4 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-5,6-dimethyl-7-phenyl- (9CI) (CA INDEX NAME)



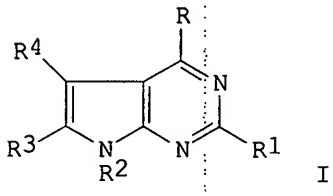
RN 86520-43-6 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-2-ethyl-5,6-dimethyl-7-phenyl- (9CI) (CA INDEX NAME)



RN 86520-45-8 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-2,5,6-trimethyl-7-phenyl- (9CI) (CA INDEX NAME)



L15 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:611696 CAPLUS
DOCUMENT NUMBER: 113:211696
TITLE: 7-Deaza-2-phenyladenines: structure-activity relationships of potent A1 selective adenosine receptor antagonists
AUTHOR(S): Mueller, Christa E.; Hide, Izumi; Daly, John W.; Rothenhaeusler, Klaus; Eger, Kurt
CORPORATE SOURCE: Lab. Bioorg. Chem., Natl. Inst. Diabetes, Dig. Kidney Dis., Bethesda, MD, 20892, USA
SOURCE: Journal of Medicinal Chemistry (1990), 33(10), 2822-8
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:211696
GI



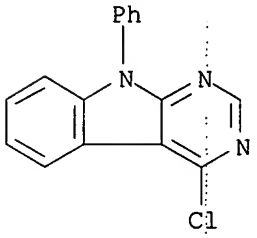
I

AB 7-Deazapurines I [R = NH2, Cl, OH, SH, SMe, SO2Me; R1 = H, SH, SMe, SO2Me, Me, Ph, 4-ClC6H4; R2 = H, Ph, hexyl, allyl, 2,3-(MeO)2C6H3, 4-BrC6H4CH2, 2-deoxyribosyl, CHMePh; R3 = R4 = Me, H, CHO, CO2H; R3R4 = (CH2)4, CH:CHCH:CH] were prepared in an attempt to improve the adenosine receptor affinity and A1 or A2 selectivity. The adenosine receptor affinities were assessed by measuring the inhibition of [3H]-(R)-N-(phenylisopropyl)adenosine (II) binding to rat brain A1 and inhibition of [3H]-5'-(N-ethylcarboxamido)adenosine (III) binding to rat striatum A2 adenosine receptors. Selected I were further examined in adenosine receptor coupled adenylate cyclase assays. All tested compds. antagonized the inhibition of adenylate cyclase elicited by interaction of II with A1 receptors in rat fat cell membranes and the activation of adenylate cyclase elicited by interaction of III with A2 receptors of pheochromocytoma PC12 cell membranes. The results indicate that 7-deazahypoxanthines have a potential for A2 selectivity, while all

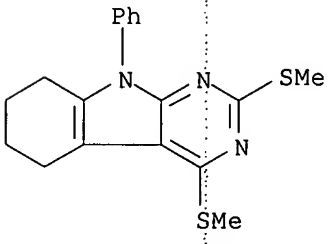
7-deazaadenines are A1 selective. Introduction of a Ph residue in the 2-position of 7-deazaadenines increases A1 activity tremendously. Thus, I (R = NH2, R = 4-ClC6H4 R2 = Ph, R3 = R4 = Me) is potent and specific for the A1 receptors of rat brain (Ki = 122 nM), having no affinity for the A2 receptors of rat striatum. The compound has low activity at the A2 receptors of rat PC12 cell membranes where it appears to act as a noncompetitive inhibitor. The most potent A1 antagonist was I [R = NH2, R1 = Ph, R2 = (R)-CHMePh, R3 = R4 = Me).

IT **130147-71-6P 130147-76-1P 130147-77-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and adenosine receptor antagonist activity of)

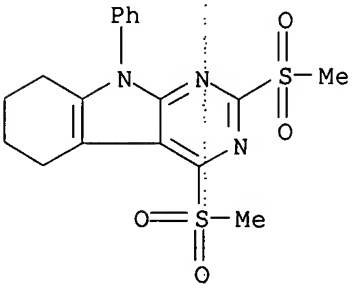
RN 130147-71-6 CAPLUS
CN 9H-Pyrimido[4,5-b]indole, 4-chloro-9-phenyl- (9CI) (CA INDEX NAME)



RN 130147-76-1 CAPLUS
CN 5H-Pyrimido[4,5-b]indole, 6,7,8,9-tetrahydro-2,4-bis(methylthio)-9-phenyl- (9CI) (CA INDEX NAME)

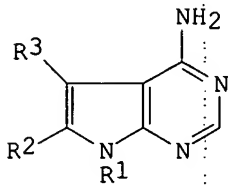


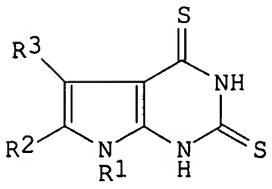
RN 130147-77-2 CAPLUS
CN 5H-Pyrimido[4,5-b]indole, 6,7,8,9-tetrahydro-2,4-bis(methylsulfonyl)-9-phenyl- (9CI) (CA INDEX NAME)



L15 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1989:439290 CAPLUS
DOCUMENT NUMBER: 111:39290
TITLE: Synthesis and biological activity of pyrrolo[2,3-d]pyrimidines
AUTHOR(S): Dave, Chaitanya G.; Shah, P. R.; Upadhyaya, S. P.; Gandhi, T. P.; Patel, R. B.
CORPORATE SOURCE: Dep. Chem., St. Xavier's Coll., Ahmedabad, 380 009, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1988), 27B(8), 778-80
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:39290
GI

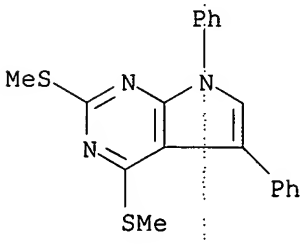

I


II

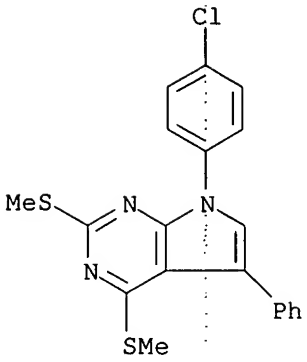
AB 2-Amno-3-pyrrolecarbonitriles were treated with HCONH2 to give aminopyrrolopyrimidines I [R1 = Ph, tolyl, anisyl, halophenyl; R2 = H, or R2R3 = (CH2)4; R3 = Ph, anisyl, ClC6H4, Me, tolyl]. Most I showed bactericidal, analgesic, antiinflammatory, antihistaminic, anticholinergic, anticonvulsant, and antihypertensive activity. Also prepared, from CS2, were pyrrolopyrimidines II.

IT **121405-50-3P 121405-52-5P 121405-55-8P 121405-58-1P 121405-60-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

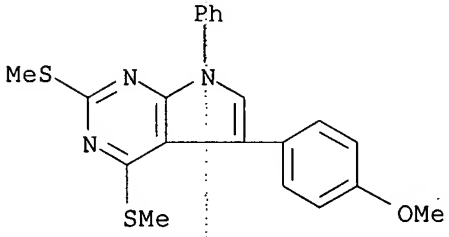
RN 121405-50-3 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 2,4-bis(methylthio)-5,7-diphenyl- (9CI) (CA INDEX NAME)



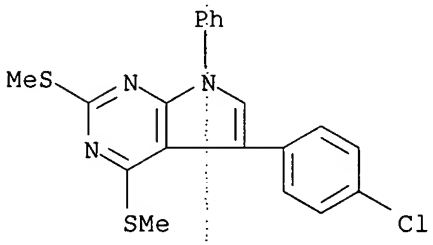
RN 121405-52-5 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 7-(4-chlorophenyl)-2,4-bis(methylthio)-5-phenyl- (9CI) (CA INDEX NAME)



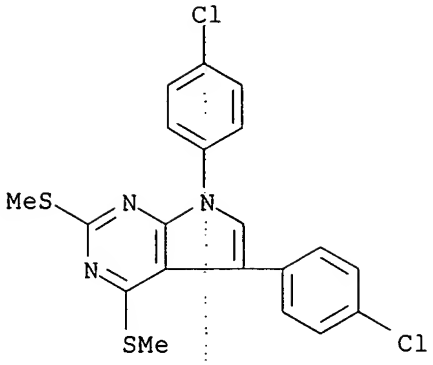
RN 121405-55-8 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 5-(4-methoxyphenyl)-2,4-bis(methylthio)-7-phenyl- (9CI) (CA INDEX NAME)



RN 121405-58-1 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 5-(4-chlorophenyl)-2,4-bis(methylthio)-7-phenyl- (9CI) (CA INDEX NAME)



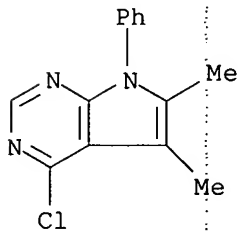
RN 121405-60-5 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 5,7-bis(4-chlorophenyl)-2,4-bis(methylthio)- (9CI) (CA INDEX NAME)



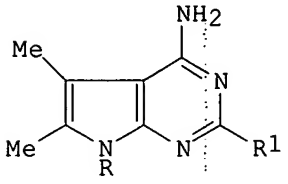
L15 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1989:419945 CAPLUS
DOCUMENT NUMBER: 111:19945
TITLE: Pyrrolo[2,3-d]pyrimidines as inhibitors of cAMP-phosphodiesterase. Structure-activity relationship
AUTHOR(S): Klumpp, Susanne; Frey, Martina; Kleefeld, Gertrud; Sauer, Armin; Eger, Kurt
CORPORATE SOURCE: Pharm. Inst., Univ. Pharm. Chem., Tuebingen, D-7400, Fed. Rep. Ger.
SOURCE: Biochemical Pharmacology (1989), 38(6), 949-53
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: English

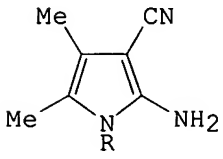
AB The effects of pyrrolo[2,3-d]pyrimidines (7-deazapurines) on calmodulin-dependent and -independent cAMP phosphodiesterase (PDE) forms were studied. PDE inhibition depended on the chemical nature of substituents attached to the pyrrolopyrimidine nucleus at positions 2, 4, 5, 6, and 7. Among a total of 28 compds. tested, 4-amino-7-phenyl-7H-pyrrolo[2,3-d]pyrimidine-5,6-dicarbaldehyde (I) was the most potent inhibitor of PDE activity (IC50 = 16 μM). In addition to the 5,6-disubstitution, position 2 of the pyrrolopyrimidine derivs. had to be unsubstituted and position 4 had to bear an NH2 group for an optimal inhibitory effect. The calmodulin-dependent and -independent PDE isoenzymes were affected to the same extent. The inhibition of PDE activity was reversible upon removal of I and was noncompetitive with respect to cAMP (Ki = 27 μM).

IT **86520-41-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with hydrazine)
RN 86520-41-4 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-5,6-dimethyl-7-phenyl- (9CI) (CA INDEX NAME)



L15 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1987:636656 CAPLUS
DOCUMENT NUMBER: 107:236656
TITLE: Selected reactions on the o-aminonitrile system of substituted pyrroles
AUTHOR(S): Eger, Kurt; Pfahl, Johannes Georg; Folkers, Gerd; Roth, Hermann J.
CORPORATE SOURCE: Pharm. Inst., Univ. Tuebingen, Tuebingen, D-7400, Fed. Rep. Ger.
SOURCE: Journal of Heterocyclic Chemistry (1987), 24(2), 425-30
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:236656
GI


I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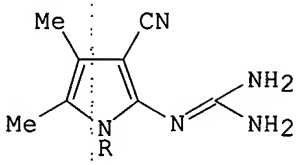
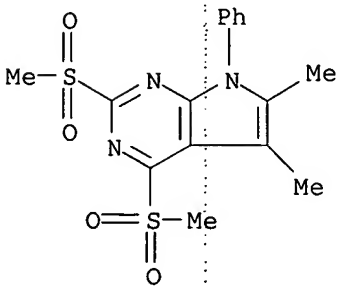

III

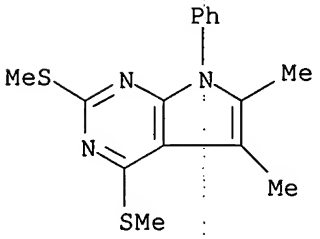
AB Pyrrolo[2,3-d]pyrimidine-2,4-diamines I (R = Ph, PhCHMe, R1 = NH2) were prepared from pyrroles II via amidines III. I (R = Ph, PhCHMe, R1 = Me, Ph, p-ClC6H4) were prepared from II and R1CN. Some unexpected reactions on the 2-aminopyrrole-3-carbonitrile system are described.

IT **111601-28-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and substitution reaction of, with ammonia)

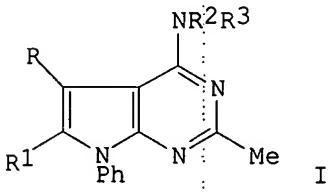
RN 111601-28-6 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 5,6-dimethyl-2,4-bis(methylsulfonyl)-7-phenyl- (9CI) (CA INDEX NAME)



IT **111601-19-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, oxidation, and substitution reaction of, with sodium amide)
RN 111601-19-5 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 5,6-dimethyl-2,4-bis(methylthio)-7-phenyl- (9CI) (CA INDEX NAME)



L15 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1985:78815 CAPLUS
DOCUMENT NUMBER: 102:78815
TITLE: Phosphorus pentoxide in organic synthesis. XIII. Synthesis of 7-phenyl-7H-pyrrolo[2,3-d]pyrimidine-4-amines
AUTHOR(S): Joergensen, Anker; Girgis, Nabih S.; Pedersen, Erik B.
CORPORATE SOURCE: Dep. Chem., Odense Univ., Odense, DK-5230, Den.
SOURCE: Chemica Scripta (1984), 24(2), 73-9
CODEN: CSRPB9; ISSN: 0004-2056
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 102:78815
GI



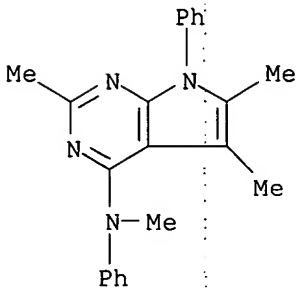
I

AB Substituted N-aryl-7-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-amines I [R = R1 = Me; RR1 = (CH2)4; R2 = H, R3 = C6H4R4, C6H3Me2-2,6; R4 = H, 2-Me, 3-Me, 4-Me, 2-Et, 2-F, 3-F, 4-F, 2-Cl, 4-Cl] were in a 1-pot synthesis by heating 7-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4(3H)-ones in a mixture of P2O5, N,N-dimethylcyclohexylamine and (II) at 180-200° for 1-3 h. In contrast, monoalkylamine hydrochlorides reacted with R2R3NH.HCl to give, in all cases, I (R2 = R3 = H), whereas with R22NH.HCl (R2 = Me, Et, Pr), one alkyl radical splits off affording I (R2 = Me, Et, Pr, R3 = H). A mechanism is suggested for the reaction, in the light of which, dealkylation reactions could be accounted for as a result of the formation of six-membered transition state, followed by intramol. elimination. The results from pesticide screenings are reported.
IT **94742-08-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with methylaniline)

RN 94742-08-2 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N,2,5,6-tetramethyl-N,7-diphenyl- (9CI) (CA INDEX NAME)



L15 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1983:453780 CAPLUS

DOCUMENT NUMBER: 99:53780

TITLE: Pyrrolo[2,3-d]pyrimidines and their medical use

INVENTOR(S): Eger, Kurt; Fruchtmann, Romanis; Horstmann, Harald; Jacobi, Hairredin; Raddatz, Siegfried; Roth, Hermann

PATENT ASSIGNEE(S): Troponwerke G.m.b.H. und Co. K.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 21 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

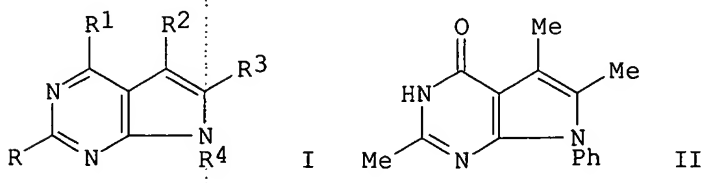
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3145287	A1	19830519	DE 1981-3145287	19811114

PRIORITY APPLN. INFO.: DE 1981-3145287 19811114

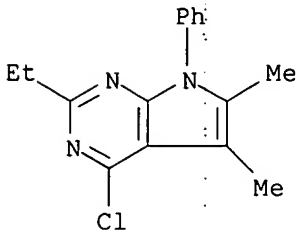
OTHER SOURCE(S): CASREACT 99:53780; MARPAT 99:53780

GI

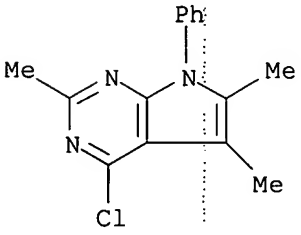


AB Pyrrolopyrimidines I [R = H, (un)substituted alkyl; R1 = H, Cl, SH, heterocyclyl, amino, (un)substituted alkyl, Ph; R2R3 = alkylene; R4 = (un)substituted Ph] were prepared Thus, 2-acetamido-3-cyano-4,5-dimethyl-1-phenyl-1H-pyrrole was cyclized with H3PO4 to give 75% II. This was chlorinated with POCl3 and then treated with NH3 to give 35% I (R = R2 = R3 = Me; R1 = NH2 R4 = Ph). I are central nervous system agents and inflammation inhibitors (no data).

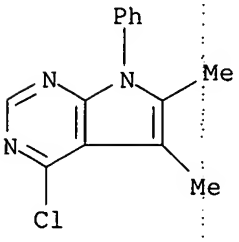
IT **86520-43-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(aminolysis of)
RN 86520-43-6 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-2-ethyl-5,6-dimethyl-7-phenyl- (9CI) (CA INDEX NAME)



IT **86520-45-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and aminolysis of)
RN 86520-45-8 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-2,5,6-trimethyl-7-phenyl- (9CI) (CA INDEX NAME)

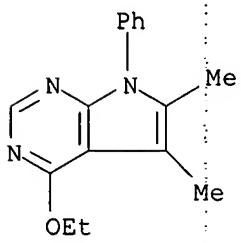


IT **86520-41-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and substitution reactions of)
RN 86520-41-4 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-5,6-dimethyl-7-phenyl- (9CI) (CA INDEX NAME)

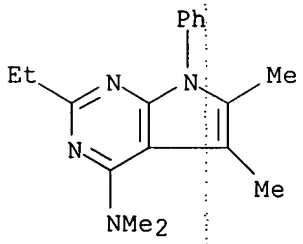


IT **86520-47-0P 86520-50-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

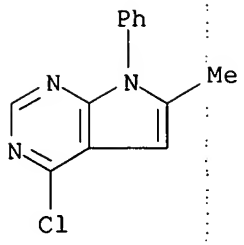
RN 86520-47-0 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-ethoxy-5,6-dimethyl-7-phenyl- (9CI) (CA INDEX NAME)



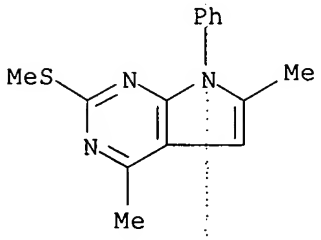
RN 86520-50-5 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 2-ethyl-N,N,5,6-tetramethyl-7-phenyl- (9CI) (CA INDEX NAME)



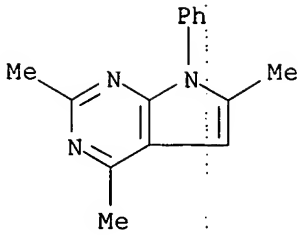
L15 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1972:126924 CAPLUS
DOCUMENT NUMBER: 76:126924
TITLE: New series of purine analogs with antimitotic action. Structure activity relations
AUTHOR(S): Marquet, Jean P.; Montagnier, Luc; Gruest, Jacqueline; Bourzat, Jean D.; Andre-Louisfert, Jeannine; Bisagni, Emile
CORPORATE SOURCE: Inst. Radium-Biol., Fac. Sci., Orsay, Fr.
SOURCE: Chimica Therapeutica (1971), 6(6), 427-38
CODEN: CHTPBA; ISSN: 0009-4374
DOCUMENT TYPE: Journal
LANGUAGE: French
GI For diagram(s), see printed CA Issue.
AB 6-Aminopurines (I) are prepared by the reaction of the 6-chloropurines (II) with the amines, R1NH2. II (R = H, R2 = benzyl) is treated with N-[(2-thienyl)methyl]amine to give I (R = H, R1 = (2-thienyl)methyl, R2 = benzyl]. Similarly prepared are .apprx.40 I [R = H, Me; R1 = aralkyl, (heteroaryl)alkyl, allyl, Ph; R2 = aralkyl, (heteroaryl)-alkyl, H, cycloalkyl, Me]. The II are prepared by the treatment of the 5-acetonyl-4,6-dichloropyrimidines (III) with the amines, R2NH2.
IT **35808-71-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 35808-71-0 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-chloro-6-methyl-7-phenyl- (9CI) (CA INDEX NAME)



L15 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1969:403352 CAPLUS
DOCUMENT NUMBER: 71:3352
TITLE: 2,3-Disubstituted furans and pyrroles. VI. Synthesis of some new pyrimidines and their transformation into furo- and pyrrolo[2,3-d]pyrimidines
AUTHOR(S): Bisagni, Emile; Marquet, Jean P.; Andre-Louisfert, Jeannine
CORPORATE SOURCE: Lab. Synt. Org., Fac. Sci., Orsay, Fr.
SOURCE: Bulletin de la Societe Chimique de France (1969), (3), 803-11
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 71:3352
GI For diagram(s), see printed CA Issue.
AB 2-(R-Substituted)-4-oxo-5-acetonyl-6-methyl-3,4-dihydropyrimidines (I) are prepared from MeCO(MeCOCH2)CHCO2Et and RC(:NH)NH2 compds., where R is Me, NH2, SH, or an alkylthio group. I are treated with H2SO4 to give substituted 4,6-dimethylfuro[2,3-d]pyrimidines (II). 2-(R-Substituted)-7-(R1-substituted)-4,6-dimethylpyrrolo[2, 3-d]pyrimidines are prepared from 4-chloro-5-acetonyl-6-methylpyrimidines and amines R1NH2.
IT **22727-53-3P 22727-54-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 22727-53-3 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4,6-dimethyl-2-(methylthio)-7-phenyl- (8CI) (CA INDEX NAME)



RN 22727-54-4 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 2,4,6-trimethyl-7-phenyl- (8CI) (CA INDEX NAME)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